What is claimed is:

1. A compound of the formula

$R_rCH_2OP(halo)NR(CH_2)_nX$

wherein

R is C_1-C_4 alkyl or $-(CH_2)_nX$;

n is 4 or 5;

X is an electrophilic group capable of being nucleophilically displaced from its bonded carbon atom;

halo is chloro, bromo or iodo; and

the group R_rCH_2- is a biologically labile ester forming group.

2. The compound of claim 1 wherein n is 4.

3. The compound of claim 1 wherein n is 5.

4. The compound of claim 1 wherein R is methyl.

5. The compound of claim 1 wherein halo is chloro.

6. The compound of claim 1 wherein X is chloro or bromo.

7. A method of preparing a phosphoramidate prodrug for enhanced intracellular delivery of a drug as phosphate ester or amide said method comprising the steps of reacting a hydroxy functional or amino functional drug compound (Drug-ZH) with a compound of the formula

$R_rCH_2OP(halo)NR(CH_2)_nX$

under conditions conducive to the formation of an intermediate compound of the formula

$R_rCH_2OP(Z\text{-}Drug)NR(CH_2)X$

and oxidizing that intermediate to form the phosphoramidate prodrug of the formula

$R_rCH_2OP(O)(Z\text{-}Drug)NR(CH_2)_nX$

in which formulas

R is C_1-C_4 alkyl or -$(CH_2)_nX$;

n is 4 or 5;

Z is O or N;

X is an electrophilic group capable of being nucleophilically displaced from its bonded carbon atom;

halo is chloro, bromo or iodo; and

the group R_rCH_2- is a biologically labile ester forming group.

8. The method of claim 7 wherein Drug-ZH is an amino acid, or a biologically active peptide or peptidomimetic.

9. The method of claim 8 wherein Drug-ZH is a peptidomimetic of the formula

wherein Z is O or N;

q and k are independently 1 or 0; and

B is H, amino, protected amino or C_1-C_4 alkanoylamino.

10. The method of claim 7 wherein Drug-ZH is a biologically active nucleotide analog.

11. A phosphoramidate compound formed from a hydroxy functional or amino functional drug compound of the general formula Drug-ZH said prodrug being a compound of the formula

$R_rCH_2OP(O)(Z\text{-Drug})NR(CH_2)_nX$

wherein

R is C_1-C_4 alkyl or $-(CH_2)_nX$;

n is 4 or 5;

Z is O or N;

X is an electrophilic group capable of being nucleophilically displaced from its bonded carbon atom;

halo is chloro, bromo or iodo; and

the group R_rCH_2- is a biologically labile ester forming group.

12. The prodrug of claim 11 wherein the drug is an amino acid, or a biologically active peptide or peptidomimetic.

13. The method of claim 12 wherein Drug-ZH is a peptidomimetic of the formula

wherein Z is O or N;

q and k are independently 1 or 0; and

B is H, amino, protected amino or C_1-C_4 alkanoylamino.

14. The prodrug of claim 11 wherein the drug is a biologically active nucleotide analog.

15. A method of preparing a compound of the formula

$R_rCH_2OP(O)_m(halo)NR(CH_2)_nX$

comprising the steps of reacting a compound of the formula

$P(O)_mhalo_3$

with 1) an alcohol of the formula R_rCH_2OH and 2) an amine of the formula $HNR(CH_2)_nX$, each in the presence of an acid scavenger,

wherein in the above formulas

m is 0 or 1;

R is C_1-C_4 alkyl or $-(CH_2)_nX$;

n is 4 or 5;

X is an electrophilic group capable of being nucleophilically displaced from its bonded carbon atom;

halo is chloro, bromo or iodo; and

the group R_rCH_2- is a biologically labile ester forming group.

16. A method of preparing a phosphoramidate prodrug of the formula

$R_rCH_2OP(O)(Z\text{-}Drug)NR(CH_2)_nX$

for enhanced intracellular delivery of a compound of the general formula $Drug\text{-}ZPO^-_3$ said method comprising the steps of reacting a hydroxy functional amino functional drug compound of the formula Drug-ZH with a compound of the formula

$R_rCH_2OP(O)(halo)NR(CH_2)_nX$

OR

under conditions conducive to the formation of the prodrug

wherein in the above formulas

R is C_1-C alkyl or $-(CH_2)_nX$;

n is 4 or 5;

Z is O or N;

X is an electrophilic group capable of being nucleophilically displaced from its bonded carbon atom;

halo is chloro, bromo or iodo; and

the group R_rCH_2- is a biologically labile ester forming group.

17. A pharmaceutical composition comprising

a phosphoramidate compound formed from a hydroxy functional or amino functional drug compound of the general formula Drug-ZH said prodrug being a compound of the formula

$$R_rCH_2OP(O)(Z\text{-Drug})NR(CH_2)_nX$$

wherein

R is C_1-C_4 alkyl or -$(CH_2)_nX$;

n is 4 or 5;

Z is O or N;

X is an electrophilic group capable of being nucleophilically displaced from its bonded carbon atom;

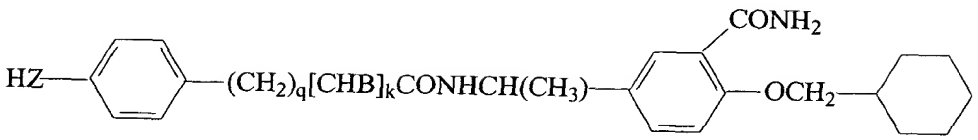
halo is chloro, bromo or iodo;

the group R_rCH_2- is a biologically labile ester forming group; and

a pharmaceutically acceptable carrier therefor.

18. The pharmaceutical compound of claim 17 wherein Drug-ZH is an amino acid or a biologically active peptide or peptidomimetic.

19. The pharmaceutical composition of claim 18 wherein Drug-ZH is a peptidomimetic of the formula



wherein Z is O or N;

q and k are independently 1 or 0; and

B is H, amino, protected amino or C_1-C_4 alkanoylamino.

20. The pharmaceutical composition of claim 17 wherein Drug-ZH is a nucleotide analog.